Welcome to STN International! Enter x:x

LOGINID:ssspta1600rxa

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
 NEWS 1
                    Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 Apr 08 "Ask CAS" for self-help around the clock
NEWS 3 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4 Apr 09 ZDB will be removed from STN
NEWS 5 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
 NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS 9 Jun 03 New e-mail delivery for search results now available
NEWS 10 Jun 10 MEDLINE Reload
NEWS 11 Jun 10 PCTFULL has been reloaded
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;
                    saved answer sets no longer valid
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY
NEWS 15 \, Jul 30 \, NETFIRST to be removed from STN \,
NEWS 16 Aug 08 CANCERLIT reload
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 09 JAPIO to be reloaded August 25, 2002
NEWS 20 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
                    now available on STN
NEWS 21 Aug 19
                   IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 22 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
                CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
                AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
               STN Operating Hours Plus Help Desk Availability
NEWS HOURS
NEWS INTER
               General Internet Information
NEWS LOGIN
               Welcome Banner and News Items
NEWS PHONE
               Direct Dial and Telecommunication Network Access to STN
NEWS WWW
               CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 14:35:39 ON 23 AUG 2002

=> fil reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 14:35:48 ON 23 AUG 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 21 AUG 2002 HIGHEST RN 444646-89-3 DICTIONARY FILE UPDATES: 21 AUG 2002 HIGHEST RN 444646-89-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10049645.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 14:36:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 70 TO ITERATE

100.0% PROCESSED 70 ITERATIONS SEARCH TIME: 00.00.02

4 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 899 TO 1901
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 full

Examiner Anderson 703-605-1157

10049645 Page 3 08/23/2002

FULL SEARCH INITIATED 14:36:31 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1424 TO ITERATE

121 ANSWERS

100.0% PROCESSED 1424 ITERATIONS

SEARCH TIME: 00.00.02

121 SEA SSS FUL L1

=> d scan

Examiner Anderson 703-605-1157

### 10049645 Page 4 08/23/2002

L3 121 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-methoxy-[9C1]
MF C19 H18 N2 O4 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

10049645 Page 5 08/23/2002

=> fil caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 140.66 140.87

FILE 'CAPLUS' ENTERED AT 14:36:57 ON 23 AUG 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Aug 2002 VOL 137 ISS 8 FILE LAST UPDATED: 21 Aug 2002 (20020821/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 13 L4 11 L3

=> d ibib abs hitstr 1-11

#### 10049645 Page 6 08/23/2002

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:265369 CAPLUS DOCUMENT NUMBER: 134:295620

INVENTOR (S):

134:295620
Preparation and effect of 4-methoxyphenylpropionic acid derivatives useful in insulin resistance improvement
Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshinhiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hibara, Taro; Seiki, Hisashi; Clark, Richard; Harada, Hitoshi
Eisai Co., Ltd., Japan
PCT Int. Appl., 350 pp.
CODEN: PIXXD2
Patent
Japanese

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001025181 A1 20010412 WO 2000-JP6788 20000929

W: AU, BR, CA, CN, HU, IL, JP, KR, MK, NO, NZ, RU, US, ZA
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE
AU 2000074499 A5 20010510 AU 2000-74499 20000929

EP 1216980 A1 20020626

R: AT, BE, CH, DE, DK, ES, FR, GB, GB, IT, LU, LU, NL, SE, MC, PT,
IE, FI, CY
PRIORITY APPLN. INFO.: JP 1999-282079 A 19001001

JP 1999-282079 A 19991001 JP 1999-369442 A 19991227 JP 2000-38795 A 20000216 JP 2000-104250 A 20000406 JP 2000-2000038795A 20000216 JP 2000-2000104260A 20000406 WO 2000-JP6788 W 20000929

OTHER SOURCE(S): MARPAT 134:295620

Title compds. [Y:L:X:TZM:CWR]; Rl is hydrogen, hydroxyl, alkyl; L is single bond, double bond, alkylene; M is single bond, alkylene; Y is single bond, alkylene; Y is single bond, alkylene; Y is arom. hydrocarbon; Z is arom. hydrocarbon; Colon cepresents single, or double bond], salts, esters, and hydrates are prepd. and are useful in prevention or treatment of diabetes and X-syndrome. Thus, the title

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS SSION NUMBER: 2001:152658 CAPLUS MENT NUMBER: 134:193426

DOCUMENT NUMBER: TITLE:

134:193426
Preparation of substituted benzylthiazolidine-2,4dione derivatives as agonists for peroxisomal
proliferator activated receptor (PPAR)
Miyachi, Hiroyuki, Nomura, Masahiro; Tanase, Takahiro;
Murakami, Koji, Tsunoda, Masaki
Kyorin Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 49 pp.
CODEM: PIXXD2

INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: Patent LANGUAGE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT INFO:

WO 2001014349 A1 20010301 WO 2000-JP5519 20000818

V: AF, AL, AM, AT, AU, AZ, BA, BB, BG, BB, Y, CA, CH, CN, CR, CU,
CZ, OE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MG, MK, MN, MW, MW, MN, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
RW: GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, SS, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CC, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1213287 A1 2020612 EP 2000-393475 20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL
PRIORITY APPLN. INFO: 

MARPAT 134:193426

The title compds. (I) or pharmaceutically acceptable salts thereof, or hydrates of both (wherein A is CH2COM, NHCONH, CH2CH2CO, or MHCOCH2; B is C1-4 lower alkyl, C1-3 lower alkowy, halogeno, trifluoromethyl, trifluoromethyl, trifluoromethyl, substituted or unsubstituted Ph, substituted or unsubstituted phenoxy, or substituted or unsubstituted pensyloxy) are prepd. These compds, bind as ligands to human peroxisome proliferator-activated receptor (FPAR) to thereby activate the receptor and exert anthyperglycemic and anthyperlipidemic effects. Thus, 378 mg 5-(3-amino-4-methoxyphenyl)methyllthiazolidine-2.4-dione was mixed with 5 mL THF, followed by adding 0.236 mL 4-trifluoromethyl isocyanate with stirring at room temp., and the stirring was continued at room temp. for 6

Examiner Anderson 703-605-1157

ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) compd. I was prepd. and biol. tested.
334012-99-4P 334012-92-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)
(prepn. and effect of methoxyphenylpropionic acid derivs. useful in insulin resistance improvement as PPAR agonists)
334012-89-4 CAPLUS
Benzeneacetamide, N-(4-chloro-2-fluorophenyl)-5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxy- (9CI) (CA INDEX NAME)

334012-92-9 CAPLUS Benzeneacetamide, N-(2,4-dichlorophenyl)-5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
h, and left to stand overnight to give 57% 5-[[4-methoxy-3-[3-[4-(trifluoromethyl)phenyl]ureido]phenyl]methyl]thiazolidine-2,4-dione (II).
II showed the transcription-activating activity for human PPAR, alpha. and
PPAR, gamma. in CHO cells with EC50 of 0.55 and 0.43 .mu.M, resp.
327162-68-19 327162-63-69 327162-64-99
B27162-61-89 327162-62-99 327162-65-9P
RL: BAC [Siological activity or effector, except adverse); BSU [Biological study, unclassified]; SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted benzylthiazolidinedione derivs. as agonists for peroxisomal proliferator activated receptor (PPAR) and antihyperglycenic and antihyperlipidemic agents)
Benzeneacetamide, 5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxy-N-[4-(trifluoromethyl)phenyl]- (9C1) (CA INDEX NAME)

327162-51-6 CAPLUS
Urea, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-N'-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

327162-54-9 CAPLUS Serzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

#### 10049645 Page 7 08/23/2002

#### L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

327162-61-8 CAPLUS
2,4-Thiazolidinedione, 5-[[4-methoxy-3-[1-oxo-3-[4-trifluoromethyl)phenyl]propyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

327162-62-9 CAPLUS
Benzeneacetamide, 5-[(2,4-dioxo-5-thiazolidiny1)methy1]-2-methoxy-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

327162-66-3 CAPLUS
Benzeneacetamide, 4-chloro-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-

#### ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS

327162-69-6 CAPLUS [1,1'-Biphenyl]-4-acetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4'-methoxyp- (9CI) (CA INDEX NAME)

327162-70-9 CAPLUS [1,1'-Siphenyl]-4-acetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4'-methyl- (9C1) (CA INDEX NAME)

327162-71-0 CAPLUS
[1,1'-Biphenyl]-4-acetamide, 4'-chloro-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS methoxyphenyl]- (9CI) (CA INDEX NAME) (Continued)

327162-67-4 327162-68-5 327162-68-6
327162-70-9 327162-71-0 327162-72-1
327162-73-2 327162-74-3 327162-75-4
RI: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of substituted benzylthiazolidinedione derivs. as agonists for peroxisonal proliferator activated receptor (PPAR) and antihyperglycemic and antihyperlipidemic agents)
327162-67-4 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)

327162-68-5 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl)-4-methoxy- (9CI) (CA INDEX NAME)

#### L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

327162-72-1 CAPLUS
Benzeneacetamide, 4-(4-chlorophenoxy)-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

327162-73-2 CAPLUS 32/162-73-2 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2methoxyphenyl]-4-[(4-methoxyphenyl)methoxy]- (9CI) (CA INDEX NAME)

327162-74-3 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)

Examiner Anderson 703-605-1157

#### 10049645 Page 8 08/23/2002

#### L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

327162-75-4 CAPLUS
Benzeneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-methoxyphenyl]-4-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 2-A

201802-09-7 CAPLUS
Benzeneacetamide, 4-(dimethylamino)-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:
DOCUMENT NUMBER:
117LE:
128:114893
Novel benzoxazole 2,4-thiazolidinediones as potent hypoglycemic agents. Synthesis and structure-activity relationships
ATHOR(S):
Arakawa, Kenjir Inamasu, Masanori; Matsumoto, Mamoru Okumura, Kunihitor Yasuda, Kosuker Akatsuka, Hidenori; Kawanami, Saburor Watanabe, Akishiger Homma, Koichi; Saiga, Yutakas Ozeki, Masakatsu Isjima, Ikuo
CORPORATE SOURCE:
COLL, Saitama, 335, Japan
Chemical & Pharmaceutical Bulletin (1997), 45(12), 1941-1993
CODEN: CPBTAL, ISSN: 0009-2363
Pharmaceutical Society of Japan
DOCUMENT TYPE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

LISHER: Pharmaceutical Society of Japan
MENT TYPE: Journal
English
Benzovazole 2,4-thiazolidinediones were synthesized and evaluated for
hypoglycemic activity in genetically obese and diabetic yellow KK mice.
2-Arylmethyl- and 2-(heteroarylmethyl)benzoxazole derivs. showed far more
potent activity than known 2,4-thiazolidinedione derivs. such as
ciglitazone, troglitazone and pioglitazone. A facile synthesis of
benzoxazole 2,4-thiazolidinediones was also established using aminophenol
2,4-thiazolidinedione as a key intermediate. Details of synthesis and
structure-activity relations for this series are described.
201802-07-5 201802-09-7
KL: KCT (Reactant). PACT (Reactant or reagent)
(prepn. of benzoxazole 2,4-thiazolidinediones as potent hypoglycemic
agents)
201802-07-5 CAPLUS
201802-07-5 CAPLUS
201802-07-5 CAPLUS
201802-07-1 (A INDEX NAME)

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

PAGE 1-A

130769-07-2P

RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of benzoxazole 2,4-thiazolidinediones as potent hypoglycemic agents) 130769-07-2 CAPLUS

Benzeneacetamide, 4-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

#### 10049645 Page 9 08/23/2002

#### L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

ΙT 201802-02-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of benzoxazole 2,4-thiazolidinediones as potent hypoglycemic agents) 201802-02-0 CAPLUS

2-Naphthaleneacetamide, N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS 195603-81-7P 195603-82-8P 195603-83-9P 195603-84-0P 195603-83-8P 195603-86-2P 195603-97-3P 195603-83-88-4P 195603-89-5P 195603-93-1P 195603-91-9P 195603-92-0P 195603-93-1P 195603-93-42-P 195603-95-3P 195603-97-5P 195603-99-7P 195603-97-1P 195603-99-6P 195603-99-7P 195604-10-3P 195604-11-6P 195604-12-7P 195604-13-8P 195604-11-8P 195604-12-7P 195604-17-2P 195604-18-3P 195604-19-4P 195604-10-7P 195604-19-4P 195604-10-7P 195604-18-3P 195604-19-4P 195604-10-7P 195604-19-4P 195604-19604-1 195604-19-4P 195604-20-7P

RE: BAC (Biological activity or effector, except adverse); BSU (Biological Study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of thiazolidinedione derivs. with activity for lowering blood sugar and lipid for treatment of diabetes)
195603-78-2 CAPLUS
Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

195603-79-3 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidiny1)methy1]pheny1]-2-nitro-(9C1) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1997:618083 CAPLUS DOCUMENT NUMBER: 127:248106 127:248106
Preparation of thiazolidine-2,4-dione derivatives for the treatment of diabetes
Taira, Seizos Sugimoto, Atsushi
Torii Pharmaceutical Co., Ltd., Japan; Taira, Seizos
Sugimoto, Atsushi
PCT Int. Appl., 39 pp.
CODEN: PIXXD2
Patent
Japanese
1 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 9732863 Al 19970912 WO 1997-JF639 19970303
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CM, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MD, MG, MK, MN, MX, NX, NO, NZ, PL, FR, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, TT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9722313 PRIORITY APPLN. INFO.:

OTHER SOURCE (S) OTHER SOURCE(S):

R1R2R3C-A

Novel thiazolidine-2,4-dione derivs. represented by general formula [I; RI = cycloalky], substituted or unsubstituted Ph, naphthyl, or a mono- or bicyclic heterocyclyl group contg. one or more atoms selected from among nitrogen, oxygen and sulfurr R2, R3 = hydrogen, lower alkyl, cycloalkyl, alkoxy, halogeno, hydroxy, (optionally protected) amino, Ph or (CH2)n (wherein n = 2 to 6) A = an amide linkage, in particular NHCO or CONH] or salts thereof, which possess excellent activity for lowering blood sugar and lipid and alleviate insulin resistance of peripheral tissues, are prepd. Diabetes remedies contg. I as the active ingredients are claimed. Thus, 5 (4-aminobenzyl) thiazolidine-2,4-dione was condensed with (R)-2-phenylpropionic acid using 1-hydroxybenzotriazole and 1-ethyl-3.(3-dimethylaminopropyl) carbodiimide hydrochloride in DMF to give I [RIRZR3C-A = (R)-PhMcCHCONH], which at 100 mg/kg p.o. in vivo lowered 544 blood sugar in mice.

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

195603-80-6 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-nitro-(9C1) (CA INDEX NAME)

195603-81-7 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-nitro-(9C1) (CA INDEX NAME)

### 10049645 Page 10 08/23/2002

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

195603-82-8 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2,4-dinitro-(951) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

- 195603-84-0 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-methoxy-(9CI) (CA INDEX NAME)

- 195603-85-1 CAPLUS Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-methoxy- (95(1) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

195603-83-9 CAPLUS
Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

195603-86-2 CAPLUS
Benzeneacetamzde, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-methyl-[9CI) (CA INDEX NAME)

### 10049645 Page 11 08/23/2002

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

- RN 195603-87-3 CAPLUS
  CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-methyl(9CI) (CA INDEX NAME)
- CH2

  CH2

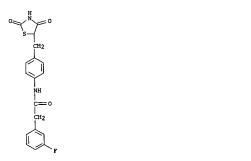
  MH

  CH2

  MH

  Me
- RN 195603-88-4 CAPLUS
  CN Benzenescetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-methyl(9CI) (CA INDEX NAME)
- L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195603-90-8 CAPLUS CN Benzeneactamide, N-[4-[(2,4-dioxo-5-thiszolidinyl)methyl]phenyl]-3-fluoro-(9CI) (CA INDEX NAME)



RN 195603-91-9 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-fluoro(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued

PAGE 1-A

PAGE 2-A

RN 195603-89-5 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-fluoro(9C1) (CA 1NDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-

PAGE 2-A

RN 195603-92-0 CAPLUS
CN Benzeneacetamide, 2-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl](9CI) (CA INDEX NAME)

## 10049645 Page 12 08/23/2002

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195603-93-1 CAPLUS
CN Benzeneacetamide, 3-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl](9C1) (CA INDEX NAME)

195603-94-2 CAPLUS
Benzeneacetamide, 4-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl][9C1] (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195603-96-4 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)

RN 195603-97-5 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-4-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

RN 195603-95-3 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

H N O O S — CH2 — NH C — O CH2

PAGE 2-A

PAGE 1-A

N 195603-98-6 CAPLUS
N [1,1'-Biphenyl]-4-acetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

| Ph

RN 195603-99-7 CAPLUS
CN Benzeneacetamide, 4-(dimethylamino)-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-01-4 CAPLUS
CN 2-Naphthaleneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl](9CI) (CA INDEX NAME)

RN 195604-09-2 CAPLUS CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methyl-, [5(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195604-10-5 CAPLUS
CN Benzeneactamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methyl-, [5(8)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued

PAGE 1-A

PAGE 2-A

NMe2

RN 195604-00-3 CAPLUS CN 1-Maphthaleneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-(9C1) (CA INDEX NAME)

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-11-6 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.-ethyl- (9CI) (CA INDEX NAME)

RN 195604-12-7 CAPLUS
CN Benzeneacetamide, .alpha.-cyclopentyl-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 195604-13-8 CAPLUS
CN Benzeneactamide, .alpha.-cyclohexyl-N-[4-[(2,4-dioxo-5-thiazolidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

### 10049645 Page 14 08/23/2002

#### L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

# RN 195604-14-9 CAPLUS CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.phenyl- (9CI) (CA INDEX NAME)

# RN 195604-16-1 CAPLUS CN Benzeneacutamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methoxy- (9C1) (CA INDEX NAME)

# L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 195604-19-4 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methyl-2-nitro- (9CI) (CA INDEX NAME)

RN 195604-20-7 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.methyl-4-nitro- (9CI) (CA INDEX NAME)

#### L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued

RN 195604-17-2 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-.alpha.,alpha.-diethyl- (9CI) (CA INDEX NAME)

RN 195604-18-3 CAPLUS
CN Benzeneacetamide, N-[4-[(2,4-dioxo-5-thiazolidiny1)methy1]pheny1].alpha.,.alpha.-dipheny1- (9CI) (CA INDEX WAME)

#### L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

NO2

### 10049645 Page 15 08/23/2002

L4 ANSWER 5 OF 11
ACCESSION NUMBER:
DOCUMENT NUMBER:
1994:245079 CAPLUS
11TLE:
INVENTOR(S):
Washing the properties of this properties of the properties of t

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE A2 19931122 JF 1992-110460 19920428 MARPAT 120:245079 JP 05310718

The title derivs. I (R1, R2 = H, OH, lower alkoxy, alkoxymethoxy) are prepd. A mixt. of 25.0 g 5-(3-acetylbenzyl)thiazolidine-2,4-dione (prepd. from m-aminoacetophenone in 2 steps), 19,7 g 3-methoxy-4- methoxymethoxybenzaldehyde, and aq. KOH in MeOH was treated at room temp. for 2.5 h to give 23.1 g 5-[3-(3-(3-methoxy-4-methoxymethoxyphenyl)-2-propencylbenzyl]thiazolidine-2,4-dione, which (6.20 g) was treated with test-Bu bromacetate in the presence of K2CO3 in DMF as room temp. for 1.5 h to give 3-test-buckycarbonylmethyl-5-[3-(3-(4-hydroxy-3-methoxyphenyl)-2-propencyl)benzyl]thiazolidine-2,4-dione (11) (14.30 g) was stirred at room temp. in RCO2H for 2.5 h to give 2.70 g I (R1 = OMe, R2 = OH) (III). III inhibited aldose reductase with IC50 of 1.4 .times. 10-7. 154066-94-1 p 154066-94-1 p 154066-94-1 p 154066-94-1 (Synthetic preparation); PREP (Preparation) (prepn. and deprotection of) 154066-94-1 CAPLUS 3-Thiazolidineacetic acid, 5-[[3-[4-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-2,4-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

154066-95-2 CAPLUS
3-Thiazolidineacetic acid, 5-{{4-[3-[3-methoxy-4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl}-2,4-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

PAGE 1-A

O- CH2- OMe

IT

145704-71-8P 145704-74-1P
RL: SFN (Synthetic preparation); PREP (Preparation)
(prepn. and protection of)
145704-71-8 CAPLUS
2,4-Thiazolidianedione, 5-[[4-[3-[3-methoxy-4-(methoxymethoxy)pheny1]-1-oxo-2-propeny1]pheny1]methy1]- (9CI) (CA INDEX NAME)

PAGE 2-A

145704-74-1 CAPLUS
2,4-Thiazolidinedione, 5-[[3-[3-methoxy-4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]henyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

ΙT

154066-96-3P 154066-97-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
{prepn. of, as antidiabetic}
154066-96-3 CAPLUS
3-Thiazolidineacetic acid, 5-[[3-[3-(4-hydroxy-3-methoxypheny1)-1-oxo-2-propeny1]pheny1]methy1]-2,4-dioxo- (9CI) (CA INDEX NAME)

сн2-со2н

154066-97-4 CAPLUS
3-Thiazolidineacetic acid, 5-[[4-[3-(4-hydroxy-3-methoxyphenyl]-1-oxo-2-propenyl]phenyl]methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

### 10049645 Page 16 08/23/2002

#### L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

#### L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

O-CH2-OMe

145704-71-8 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[3-methoxy-4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 11
ACCESSION NUMBER:
DOCUMENT NUMBER:
1993:80926 CAPLUS
110:80926
Thias:001dine-2,4-dione compounds, method for their production, and medicines containing them for treatment of diabetic complications
Miyaoka, Shozo; Takahashi, Hiroaki; Ushijima, Hideto; Sato, Hiroko
PATENT ASSIGNEE(S):
FOURCE:

DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
ENGlish

CAPLUS COPYRIGHT 2002 ACS
ACPLUS
11993:80926 CAPLUS

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 489663	A1	19920610	EP 1991-403312	19911206
R: BE, CH, I JP 04210683 US 5225426 PRIORITY APPLN. INFO.:	A2 A	GB, IT, LI 19920731 19930706	, NL, SE JP 1990-413602 US 1991-802308 JP 1990-413602	19901206 19911204 19901206
THER SOURCE(S):	MA:	RPAT 118:809	26	

Title compds. I (R1 = H, Me) are prepd. as antidiabetic drugs with a combined action, both inhibiting aldose reductase and depressing blood sugar. For example, condensation of 5-(4-acetylbenzyl)thiazolidine-2,4-dione (prepd. in 2 steps) with 3,4-(MeO)(MeOCH2O)C6H3CHO in methanolic KOH, and deprotection of the product by HCI in aq. THF-MeON, gave I (R1 = Me; CH2 group in 4-position) (II). At 100 mg/kg/day orally for 4 days in diabetic rats, II gave 93.8% inhibition of sorbitol accumulation and 60.5% drop in blood sugar, whereas a comparative thiazoleacetic acid deriv. gave 90.3% inhibition of sorbitol but only 7.2% blood sugar drop. Prepns. and biol. data for 3 I are described; these and 3 addnl. I are claimed. 145704-70-7P 145704-71-8P 145704-74-1P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrolysis of) 145704-70-7 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-[3,4-bis(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

O- CH2-OMe

145704-74-1 CAPLUS 2,4-Thiazolidinedione, 5-[[3-[3-[3-methoxy-4-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

145704-63-8P 145704-64-9P 145704-65-0P 145704-66-1P 145704-67-2P 145704-68-3P RL: SPN (Synthetic preparation); PREP (Preparation)

### 10049645 Page 17 08/23/2002

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

(prepn. of, as antidiabetic)

RN 145704-63-8 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[3-(3,4-dihydroxyphenyl]-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

145704-64-9 CAPLUS 2,4-Thiazolidinedione, 5-[(4-(3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl)henyl]methyl]- (9C1) (CA INDEX NAME)

ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) L4

145704-66-1 CAPLUS 2,4-Thiazolidinedione, 5-[[3-[3-(3,4-dihydroxyphenyl)-l-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

145704-67-2 CAPLUS 2,4-Thiazolidinedione, 5-[[2-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

145704-68-3 CAPLUS 2,4-Thiazolidinedione, 5-[[2-{3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- [9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{OH} \\ \text{CH} = \text{CH} - \text{C} \\ \text{CH} \end{array}$$

(Continued) L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

145704-65-0 CAPLUS 2,4-Thiazolidinedione, 5-[[3-[3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

он

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:255519 CAPLUS
DOCUMENT NUMBER: 116:255519
TITLE: Novel thiazolidine-2,4-diones as potent euglycemic

AUTHOR(S):

Novel thiazolidine-/, -dinnes as potent edg-yeems agents.
Hulin, Bernard; Clark, David A.; Goldstein, Steven W.;
McDermott, Ruth E.; Dambek, Paul J.; Kappeler, Werner
H.; Lamphere, Charles H.; Levis, Diana M.; Rizzi,
James P.
Pfizer Inc., Groton, CT, 06340, USA
J. Med. Chem. (1992), 35(10), 1853-64
CODEN: JMCMAR; ISSN: 0022-2623
Journal

CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE: Journal English

A new series of thiazolidine-2,4-diones I [R = H, Z = O, X = (CH2)n, (n = 1, 2, 3), OCH2, CH:CH; R = 4-PhCH2O, 4-Ph, 2-MeO, 4-MeO, Z = O, X = (CH2CH2); R = H, Z = H2 or H,OH, X = CH2CH2; R = 4-PhCH2O, 2-MeO, 2-C1, 2-CF3, 2-PhCH2, 3-C1, 4-Br, 4-EtO2C, 4-Ph, 2-HO, 2-Me, 4-MeOCH2, 4-MeO, 4-Me2N, Z = O, X = CH:CH] was obtained by replacing the ether function of englitazone with various functional groups, i.e., a ketone, alc., or olefin moiety. These compds. lower blood glucose levels in the genetically obese and insulin-resistant ob/ob mouse. Appending an oxazole-based group at the terminus of the chain provided highly potent compds. e.g. II [R] = Ph, 4-MeCGH4, R2 = Me, H; R1 = 4-MeCCH4, 4-CT3CGH4, 4-HOCCH4, 3,5,4-MeZGH4, R2 = Me, H; R1 = 4-MeCCH4, 4-CT3CGH4, 4-HOCCH4, 3,5,4-MeZGH4, R2 = Me, H; R1 = 4-MeCCH4, 2-(5-methylfury)) = 2-H2CH199-94-2P 141199-94-2P 141199-94-2P 141200-01-2P 141200-02-P 141200-02-P 141200-03-P 141200-13-P 14

### 10049645 Page 18 08/23/2002

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

PAGE 2-A

141199-93-1 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(3-[1,1'-biphenyl]-4-yl-1-oxopropyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

141199-96-4 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-(4-methoxyphenyl)-1-cxopropyl]phenyl]methyl]- [9CI] (CA INDEX NAME)

(Continued) L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS

PAGE 1-A

PAGE 2-A

141199-94-2 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-(2-methoxyphenyl)-1-oxopropyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

141199-99-7 CAPLUS
141200-00-2 CAPLUS
141200-01-3 CAPLUS
141200-01-3 CAPLUS
141200-02-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[1-oxo-3-[2-(trifluoromethyl)phenyl]-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

141200-05-7 CAPLUS 2,4-Thiazolidinedione, 5-[(4-[3-(3-chloropheny1)-1-oxo-2-propeny1]pheny1]methy1]-, (E)- (9CI) (CA INDEX NAME)

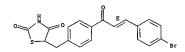
Double bond geometry as shown.

141200-06-8 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(4-bromophenyl])-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

### 10049645 Page 19 08/23/2002

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS



141200-08-0 CAPLUS
141200-09-1 CAPLUS
2,4-ThiazOlidinedione, 5-[[4-[3-(2-methylphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

141200-10-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[4-(methoxymethyl)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

141200-12-6 CAPLUS
141200-13-7 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[4-(dimethylamino)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
141200-07-9 CAPLUS
141200-11-5 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[4-(methoxymethyl)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

141200-14-8 CAPLUS 2,4-Thiazolidinedions, 5-[[4-[3-[4-(dimethylamino)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (SCI) (CA INDEX NAME)

Double bond geometry as shown.

• Na

141200-17-1 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, monosodium salt, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Na

RN 141200-18-2 CAPLUS

Examiner Anderson 703-605-1157

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
141200-15-9 CAPLUS
2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-,
(R,R)-| GSCI) (CA INDEX NAME)

Relative stereochemistry.

1412UU-10-U CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, (R\*,5\*)- (9Cl) (CA INDEX NAME)

Relative stereochemistry.

141221-04-7 CAPLUS
Benzoic acid, 4-[3-[4-[(2,4-dioxo-5-thiazolidinyl]methyl]phenyl]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME) RN CN

Double bond geometry as shown.

141221-05-8 CAPLUS
141199-95-3P 141199-97-5P 141200-03-5P
141200-07-9P 141200-11-5P 141200-14-8P
141200-17-1P 141200-18-2P
RL: SFN (Synthetic preparation); PREP (Preparation) (prepn. of)
141199-95-3 CAPLUS
141199-97-5 CAPLUS
141200-03-5 CAPLUS

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) 2,4-Thiazolidinedione, 5-[(4-(1-hydroxy-3-phenylpropyl)phenyl]methyl]-, monosodium salt, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● Na

141199-98-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., conjugate redn. or hydrogenolysis, and euglycemic activity of)
141199-98-6 CAPIUS
141199-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., redn., and euglycemic activity of)
141199-88-4 CAPIUS

#### 10049645 Page 20 08/23/2002

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:55794 CAPLUS
DOCUMENT NUMBER: 114:55794
TITLE: Hypolipemics containin

114:55:794
Hypolipemics containing (thiazolidinylmethyl)benzoxazoles or (thiazolidinylidenemethyl)benzoxazoles
Iijima, Ikuo: Ozeki, Masakatsu: Okumura, Kunito: Mori,
Tetsuji: Inamasu, Masanori
Tanabe Seiyaku Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JXXXAF INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

APPLICATION NO. KIND DATE PATENT NO. A2 19900627 B4 19930616 A1 19911226

JP 1988-229088 MARPAT 114:55794 PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI 19880913

Hypolipemics contain title compds. I [R = (un)substituted Ph, naphthyl, cycloalkyl, heterocyclyl; Alk = bond, lower alkenylene, lower alkynylene, (un)substituted lower alkylene; the dotted line may be a double bond) or their pharmacol, acceptable salts as active ingredients. Treatment of a THF-DMF soln. contq. 3.10 q 5-(3-amino-4-hydroxybenzyl)-2,4-dioxothiazolidine (prepn. given) and N.N-dmethylanline with a THF soln. of 2.38 q 2-phenyl-4-thiazoleacetyl chloride at room temp. for 20 min gave 3.35 g N-5-(2,4-dioxothiazolidin-5-yl)methyl-2-hydroxyphenyl-2-phenylthiazol-4-acctamide, which was treated with trimethylsilyl polyphosphate at 100.degree. for 30 min to afford 615 5-(2,4-dioxothiazolidin-5-yl)methyl]-2-[(2-phenyl-1,3-thiazol-4-yl)methyl]benzoxarole ([I]). Rats were fed a high-cholesterol diet contg. 10 mgl (sic) II for 3 days, resulting in decrease in serum cholesterol level by 30, increase in high-d. lipoprotein cholesterol level 82, and decrease in triglyceride 461.

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:
DOCUMENT NUMBER:
11991:604 CAPLUS
114:604
(Thiazolidinylmethyl)benzoxazoles or
(thiazolidinylidenemethyl)benzoxazoles as hpoglycemics
1ijima, [kuo: Ozeki, Masakatsu; Okumura, Kunito;
Inamasu, Masanori
1 Tanabe Sciyaku Co., Ltd., Japan
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
PATENT ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. JP 02167225 JP 05039928 JP 05194222 PRIORITY APPLM. INFO.: OTHER SOURCE(S): 19900627 19930616 19930803 A2 B4 A2 19890907 JP 1989-232385 19930803 JP 1992-257106 JP 1988-233199 MARPAT 114:604

Hypoglycemics contain title compds. I [R = (un)substituted Ph, naphthyl, cycloalkyl, heterocyclyl; Alk = bond, lower alkenylene, lower alkynylene, (un)substituted lower alkylene; the dot line may be double bond] or their pharmacol. acceptable salts as active ingredients. Treatment of THF-DMF soln. contg, 3.10 g 5.(3-amino-4-hydroxybenzyl)-2,4-dioxothiazolidine (prepn. given) and N,N-dimethylaniline with THF soln. of 2.38 g 2-phenyl-4-thiazolacety; chloride at room temp. for 20 min gave 3.35 g N-[5-[2,4-dioxothiazolidin-5-yl]methyl-2-hydroxyphenyl]-2-phenylthiazol-4-acctamide, which was then treated with trimethylsivly polyphosphate at 100.degree. for 30 min to afford 618 5-[2,4-dioxothiazolidin-5-yl]methyl]-2-[(2-phenyl-1,3-thiazol-4-yl)methyl]benzoxazole [II]. Hypoglycemic effects of II (administered in diet) were demonstrated in rats.y.
130768-07-29
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

130769-07-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of)
130769-07-2 CAPLUS
Benzeneacetamide, 4-chloro-N-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of)
118383-54-3 CAPLUS
Benzeneacetamide, 4-chloro-N-[5-[(2,4-dioxo-5-thiazolidiny1)methy1]-2-hydroxypheny1]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

PAGE 1-A

cı

### 10049645 Page 21 08/23/2002

L4 ANSWER 10 OF 11 CAPILIS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:406325 CAPILIS
1316325
Preparation of thiazolidinediones as hypoglycemic

Preparation of interesting the state of the INVENTOR (5):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 1

PATENT NO	٠.	KIND	DATE	APPLICATION NO.	DATE
			19890913		
EP 332331		AZ	19090913	21 2322 2	
EP 332331		A.3	19891129		
EP 332331		B1	19940209	- CD IT II NI.	SE
R: /	T, BE,	CH, DE	, ES, FR, G	B, GR, IT, LI, LU, NL WO 1988-US744	19880308
WO 89086	50	A1	19890921	WO 1388-03744	
W: 1	i, HU,	NO, US		HU 1988-2857	19880308
HU 56357		A2	19910828	HU 1988-2037	19890228
AT 10139	1	E	19940215	AT 1989-302012 ZA 1989-1682	
73 00016	12	A	19901031	ZA 1303-1002	
CA 13288	72	Δ1	19940426	CA 1909-352034	
DK 89010	32	A	19890911	DK 1989-1082	
AH 89310	75	A1	19890914	AU 1989-31075	19090307
A11 59375	A	B2	19900215		19890307
JP 01272	573	A2	19891031	JP 1989-54841	19890301
JP 25691	67	R2	19970108		19900814
US 50617	17	A	19911029	US 1990-566436	
NO 90038	62	A	19900905	NO 1990-3862	19900905
US 51207	54	A	19920609	US 1991-679898	19910403
US 52235		A	19930629	115 1992-857039	19920324
PRIORITY APPL				WO 1988-U5744	19880308
PRIORITI AFTE				EP 1989-302012	19890228
				US 1990-566436	19900814
				US 1991-679898	19910403
			113.	CZ25. MADPAT 113:6325	6

OTHER SOURCE(S):

CASREACT 113:6325; MARPAT 113:6325

Title compds. I (A, B = CH, N; X = S, SO, SO2, CH2, CHOH, CO; X1, X2 = H,

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

125679-04-1 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(2-methylphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Na

125679-05-2 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(4-bromophenyl)-1-oxo-2-propenyl]phenyl]methyl]-, potassium salt, [E]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

125679-06-3 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(4-nitrophenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Examiner Anderson 703-605-1157

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

Ne, FJC, Ph, PhCHZ, HO, MeO, PhO, PhCHZO, Br, Cl, Fr, Y = RICH, R2N; Z = R3CH, CH2CH2, CH1CH, etc.; R, R1, R2, R3 = H, Mes n = 0, 1) and a R3CH, CH2CH2, CH1CH, etc.; R, R1, R2, R3 = H, Mes n = 0, 1) and a blood cholesterol, are prepd. 2, 4-Thiazolodinedione in THF was cooled to blood cholesterol, are prepd. 2, 4-Thiazolodinedione in THF was cooled to blood cholesterol are prepd. 2, 4-Thiazolodinedione in THF was cooled to row 1 (A = E-H, X = SOZ, X1 = X2 = H, Yn = MeN, Z = R = CH2). I have demonstantly acceptable was added followed by 4-(BrCH2)CGH4SOZNMcHZPh in THF to give 1 (A = E-H, X = SOZ, X1 = X2 = H, Yn = MeN, Z = R = CH2). I have demonstantly 125679-03-0P 125679-04-P 125679-03-P 125679-03-P 125679-04-P 125679-03-P 125679-03-P 125679-13-P 125679-12-P 125679-12-P 125679-12-P 125679-12-P 125679-12-P 125679-12-P 125679-12-P 125679-13-P 125679-13-P 125679-13-P 125679-13-P 125679-13-P 125734-16-P 125734-16-P 125734-16-P 125734-16-P 125734-16-P 125734-16-P 125734-23-P 125734-23-P

Double bond geometry as shown.

1256/9-U3-U CAPLUS
2,4-Thiazolidinedione, 5-[[4-[1-oxo-3-[2-(phenylmethyl)phenyl]-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

125679-07-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(3-chlorophenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME) Double bond geometry as shown.

125679-08-5 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-(3-nitrophenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

125679-09-6 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-(2-hydroxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, monosodium salt, (E)- (9CI) (CA INDEX NAME)

### 10049645 Page 22 08/23/2002

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) Double bond geometry as shown.

**₩** 

RN 125679-10-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-[2-[(methylsulfonyl)oxy]phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

• Na

RN 125679-11-0 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A | Ph ● Na

PAGE 1-A

RN 125679-15-4 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(3-methoxyphenyl)-1-oxopropyl]phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
N 125679-12-1 CAPLUS
N Acetamide, N-[4-[3-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-oxo-1-propenyl]phenyl]-, monosodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

● Na

RN 125679-13-2 CAPLUS
CN 2,4-Thiszolidinedione, 5-[[4-[3-(2-methoxyphenyl)-1-oxopropyl]phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

RN 125679-14-3 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-(3-[1,1'-biphenyl]-4-yl-1-cxopropyl)phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 125699-10-7 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-[4-(methoxymethoxy) phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, sodium salt, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

● Na

RN 125699-11-8 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-(1-oxo-3-phenylpropyl)phenyl]methyl]-, sodium
salt (9CI) (CA INDEX NAME)

## 10049645 Page 23 08/23/2002

### L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

Na

RN 125699-12-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(4-methoxyphenyl)-1-oxopropyl]phenyl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 125734-16-9 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(2-chlorophenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-17-0 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-[2-(methoxymethoxy)phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-18-1 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[1-oxo-3-[4-(phenylmethoxy)phenyl]-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-19-2 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(2-hydroxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Examiner Anderson 703-605-1157

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
PAGE 2-A

| OMe

• Na

RN 125699-13-0 CAPLUS
CN 2.4-Thiazolidinedione, monosodium salt (9CI) (CA INDEX NAME)

• Na

RN 125734-14-7 CAPLUS CN 2,4-Thiazolidinedione, 5-[[4-(1-oxo-3-phenyl-2-propenyl)phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-15-8 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(2-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 125734-20-5 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-21-6 CAPLUS
CN Acetamide, N-[4-[3-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]-3-oxo-l-propenyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 125734-22-7 CAPLUS CN 2,4-Thiazolidinedione, 5-[[4-(1-oxo-3-phenylpropyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

## 10049645 Page 24 08/23/2002

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
125734-23-8 CAPLUS
2,4-Thiazolidinedione, 5-[{4-[1-oxo-3-[4-(phenylmethyl)phenyl]propyl]pheny
1]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

125734-24-9 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[3-[3-methoxypheny1]-1-exopropyl]phenyl]methyl]- [9CI] (CA INDEX NAME)

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

125758-74-9 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-[2-[(methylsulfonyl)oxy]phenyl]-1-oxo-2-propenyl]phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(Continued) L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

125734-25-0 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(1-hydroxy-3-phenylpropy1)phenyl]methyl]-(9C1) (CA INDEX NAME)

125758-73-8 CAPLUS 2,4-Thiazolidinedione, 5-[[4-(3-[1,1'-biphenyl]-1-oxo-2-propenyl)phenyl]methyl]-, (E)- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:
DOCUMENT NUMBER:
110:57657 CAPLUS
110:57657

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			EP 1988-104361	
EP 283035	A1	10011106	2	
EP 283035	22 CAL DE	FC CB CB	, IT, LI, LU, NL, SE	;
R! AI,	BE, CH, DE	19880919	FI 1988-1103	19880309
FI 8801103	ν.	19940513		
EP 283035 R: AT, FI 8801103 FI 91869 FI 91869 JP 01056675 JP 05005832 US 4897393 AU 8813177 AU 600805 CA 1304371 DK 8801474 CN 1026322	č	19940825		
FI 91869	A 2	19890303	JP 1988-58068	19880310
15 01020012	11	19930125		
JP 05005832	λ.	19900130	US 1988-167391	19880314
05 4897393	7,7	19880922	AU 1988-13177	19880316
AU 88131//	B2	19900823		
AU 600805	a1	19920630	CA 1988-561556	19880316
CA 1304371	, A	19880919	DK 1988-1474	19880317
DK 8801474	Ä	19881005	CN 1988-101542	19880317
CN 1026322	 R	19941026 19900129		_
HU 50339	A2	19900129	HU 1988-1317	19880317
HU 204525				
IL 102894			IL 1988-102894	19880317
IL 85767		10020010	rr. 1988-85767	19880317
FR 2612516	A1	19880923	FR 1988-3570	19880318
FR 2612516		19921113		
AT 69226	F	19911115	AT 1988-104361	19880318
ES 2037752	T3	19930701	ES 1988-104361	19880318
US 4948900	Δ.	19900814	US 1989-435807	19891113
AU 9055783	A1		AU 1990-55783	19900521
AU 618483	B2	19911219		
CA 1326489	A2	19940125	CA 1991-616209	19911024
ORITY APPLN.	INFO.:		JP 1987-65359	19870310
			JP 1987-65359 JP 1987-67073	198/0320
			IIS 1988-16/391	19880314
			CA 1988-561556 IL 1988-85767	19880310
				12080211

IL 1988-85767 1980317
EB 1988-10461 19880318

OTHER SOURCE(5): CASREACT 110:57657: MARPAT 110:57657

GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = (un)substituted cycloalkyl, Ph, naphthyl, heterocyclyl; Z = bond, alkenylene, alkynylene, (un)substituted alkylene; dotted line is optional double bond) and their pharmaceutically acceptable salts were prepd. as hypoglycemics, useful in treating diabetes.
4-HZNCGH40H was converted in 3 steps to 5-(p-hydroxyhenzyl)-2,4-thiazolidinedione which was nitrated and reduced to give 5-(3-amino-4-hydroxybenzyl)-2,4-thiazolidinedione. The latter was N-acylated with 2-phenyl-4-thiazoleacetyl chloride and the resulting

### 10049645 Page 25 08/23/2002

- L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued) anilide was cyclized by heating at 100.degree. in ClCHZCH2C1 with P205 and (Me351) 20 to give (thiazolylmethyl)benzoxazole II. Genetically obese and diabetic mice given feed contg. 0.5 mg% II for 5 days had their blood glucose level reduced 631.

  IT 18383-54-3P 18383-57-69
  RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation) (preps. and reaction of, in preps. of hypoglycemics)
  RN 18383-54-3 CAPLUS
  CN Benzeneacetamide, 4-chloro-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (SCI) (CA INDEX NAME)

118383-57-6 CAPLUS
Benzeneacetamide, 4-(dimethylamino)-N-[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)

10049645 Page 26 08/23/2002

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	51.45	192.32
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.82	-6.82

STN INTERNATIONAL LOGOFF AT 14:41:34 ON 23 AUG 2002